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60/368,415 27 March 2002 (27.03.2002) US(71) Applicant (for all designated States except US):
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Declarations under Rule 4.17:

- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for all designations
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for the following designations AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SC, SG, TN, TT, UA, UZ, VN, YU, ZA, ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)
- of inventorship (Rule 4.17(iv)) for US only

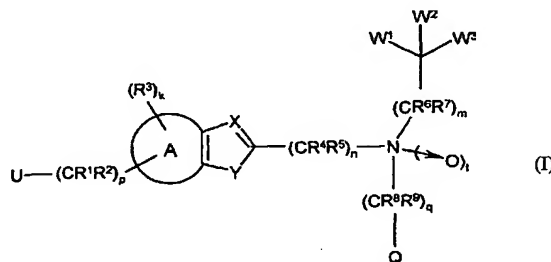
Published:

- with international search report

(88) Date of publication of the international search report:
18 December 2003

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: CERTAIN PHARMACEUTICALLY USEFUL SUBSTITUTED AMINOALKYL HETEROCYCLES



(57) Abstract: Disclosed is a compound of having the formula: (I) pharmaceutically acceptable salts or solvates thereof and pharmaceutical compositions containing the same, wherein the structural variables are as defined herein. The compounds, salts and solvates of this invention are useful as LXR agonists.

INTERNATIONAL SEARCH REPORT

International application No.

PCT/US03/09039

A. CLASSIFICATION OF SUBJECT MATTER

IPC(7) : A61K 31/34, 31/38, 31/40; C07D 209/14, 209/16, 307/81, 333/58

US CL : 514/415, 443, 469; 548/504; 549/49, 58, 444, 467

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

U.S. : 514/415, 443, 469; 548/504; 549/49, 58, 444, 467

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)
CAS ONLINE-structure search

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	Database CAPLUS on STN (Columbus, OH, USA), No. 128:22779, 'Efficient selective synthesis of 2-substituted indoles from complex-base-promoted arynic cyclizations', abstract, KUEHN-CAUBERE et al., October 1997. Whole abstract along with structural formulae of the 2 prepared cpds which meet the instant claims.	1-4 and 18

☐ Further documents are listed in the continuation of Box C.

☐ See patent family annex.

* Special categories of cited documents:	"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
"A" document defining the general state of the art which is not considered to be of particular relevance	"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
"E" earlier application or patent published on or after the international filing date	"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)	"&" document member of the same patent family
"O" document referring to an oral disclosure, use, exhibition or other means	
"P" document published prior to the international filing date but later than the priority date claimed	

Date of the actual completion of the international search

12 August 2003 (12.08.2003)

Date of mailing of the international search report

17 SEP 2003

Name and mailing address of the ISA/US

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Commissioner for Patents
P.O. Box 1450
Alexandria, Virginia 22313-1450

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INTERNATIONAL SEARCH REPORT

International application No.

PCT/US03/09039

Box I Observations where certain claims were found unsearchable (Continuation of Item 1 of first sheet)

This international report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claim Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☐ Claim Nos.:
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
3. ☒ Claim Nos.: 5-17 and 23-38
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of Item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:
Please See Continuation Sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☒ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.: 1-4, 18-22 and 39 limited to first mentioned invention.

Remark on Protest

☐
☐

The additional search fees were accompanied by the applicant's protest.

No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

PCT/US03/09039

Continuation of Item 4 of the first sheet:

The title is too general. The following title is proposed.

CERTAIN PHARMACEUTICALLY USEFUL SUBSTITUTED AMINOALKYL HETEROCYCLES

BOX II. OBSERVATIONS WHERE UNITY OF INVENTION IS LACKING

This application contains the following inventions or groups of inventions which are not so linked as to form a single general inventive concept under PCT Rule 13.1. In order for all inventions to be searched, the appropriate additional search fees must be paid.

Group I, claim(s) 1-4, 18-22 and 39, drawn to cpds. where A is phenyl, X is CH and Y is O/N/S.

Group II, claim(s) 1-4, drawn to cpds. where A is phenyl, X is N and Y is O/N/S.

Group III, claim(s) 1-4, drawn to cpds. wherein A is pyridine, X is CH and Y is O/N/S.

Group IV, claim(s) 1-4, drawn to cpds. wherein A is pyridine, X is N and Y is ONS.

The inventions listed as Groups I-IV do not relate to a single general inventive concept under PCT Rule 13.1 because, under PCT Rule 13.2, they lack the same or corresponding special technical features for the following reasons: Heterocyclic groups with no common core are included in the claims.

Box No. VIII(ii) DECLARATION: ENTITLEMENT TO APPLY FOR AND BE GRANTED A PATENT

The declaration must conform to the standardized wording provided for in Section 212; see Notes to Boxes Nos. VIII, VIII(D) to (v) (in general and the specific Notes to Box No. VIII(ii)). If this Box is not used, this sheet should not be included in the request.

Declaration as to the applicant's entitlement, as at the international filing date, to apply for and be granted a patent (Rules 4.17(ii) and 51bis.1(a)(ii)), in a case where the declaration under Rule 4.17(iv) is not appropriate:

SMITHKLINE BEECHAM CORPORATION is entitled to apply for and be granted a patent by virtue of the following:

an assignment from

Thompson, Scott K., 709 Swedeland Road, King of Prussia, Pennsylvania 19406, United States of America, dated April 11, 2003;

Frazer, James S., 709 Swedeland Road, King of Prussia, Pennsylvania 19406, United States of America, dated April 14, 2003;

Kallander, Lara S., 709 Swedeland Road, King of Prussia, Pennsylvania 19406, United States of America, dated April 11, 2003;

Ma, Chun, 1150 River Road, Apartment 3G, Edgewater, New Jersey 07020, dated March 20, 2003;

Marino, Joseph P., 709 Swedeland Road, King of Prussia, Pennsylvania 19406, United States of America, dated April 11, 2003;

Nebb, Michael J., 709 Swedeland Road, King of Prussia, Pennsylvania 19406, United States of America, dated April 11, 2003;

Bhat, Ajita, 10211 Arrow Creek Drive, Apt. 102, Raleigh, North Carolina 27617, United States of America, dated March 28, 2003

This declaration is made for the purposes of all designations.

☐ This declaration is continued on the following sheet, "Continuation of Box No. VIII(ii)".

Form PCT/RO/101 (declaration sheet (ii)) (March 2001; reprint January 2003)

See Notes to the request form